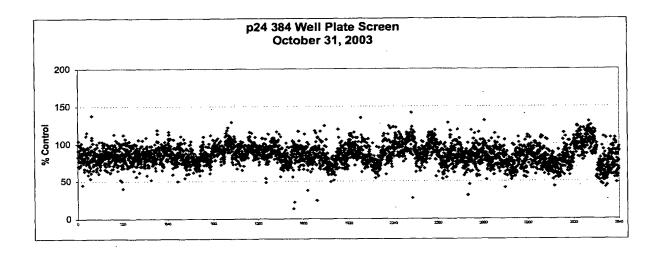


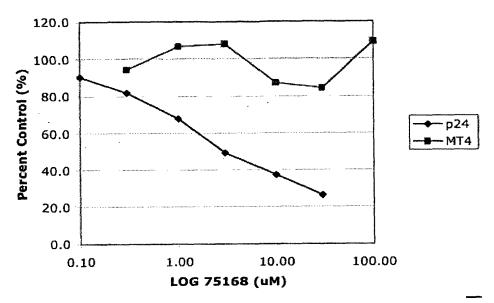
Figure 1: Known Steps in the Rev/RRE Pathway



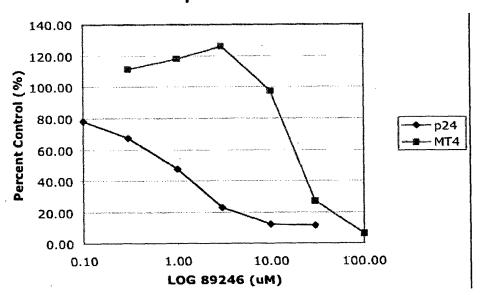
## **Figure 2** Screening of approximately 4000 compounds out of 40,000 total screened (9 other similar graphs not shown). Compounds that gave an inhibition of HIV particle formation below 50% were chosen for further study.

COMPOUND NUMBER

## 3A Dose Response (p24) and Toxicity (MT4) Assays Compound 75168



## 3B Dose Response (p24) and Toxicity (MT4) Assays (Compound 89246)



**Figure 3**: Six point dose response assay in 5BD.1 cells and toxicity assay in MT4 cells. This is the type of data used to calculate the  $IC_{50}$  and  $TC_{50}$  of each compound.

## Figure 4

**4A** 

Code Name: 89246

**Specs Name:** AG-690/40701421

Chemical Name: 7-methoxy-1H-pyrazolo[3,4-b]quinolin-3-ylamine,

**4B** 

Code Name: 91161

Specs Name: AP-501/40888738

Chemical Name: 2-chloro-N-(4-methylphenyl)-4-(trifluoromethyl)-1,3-thazole-5-carboxamide,

**4C** 

Code Name: 103833

Specs Name: AE-848/34435011

Chemical Name: 3-amino-5-ethyl-4,6-dimethylthieno[2,3-b]pyridine-2-

carboxamide,

**4D** 

Code Name: 104366

**Specs Name:** AG-687/25019010

Chemical Name: 4-amino-6-methoxy-2-(trifluoromethyl)-3-quinolinecarbonitrile,

**4E** 

Code Name: 107129

Specs Name: AC-907/25005415

Chemical Name: -(4-bromophenyl)-2-(methylsulfonyl)pyrimidine

**4F** 

Code Name: 107740

Specs Name: AF-399/40653810

Chemical Name: 3,6-dichloro-N-(3-methoxyphenyl)-4-pyridazinecarboxamide,

4G

and

Code Name: **75168** 

**Specs Name:** AE-848/34435026

**Chemical Name:** 3-amino-4-ethyl-6-methyl-N~5~-phenylthieno[2,3-b]pyridine-2,5-

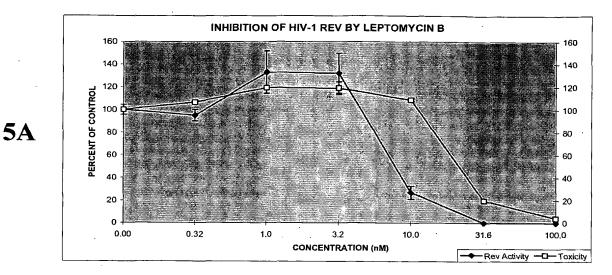
dicarboxamide,

**4H** 

Code Name: 109020

**Specs Name:** AP-906/41641102

Chemical Name: N-(3-chloro-4-fluorophenyl)-N-(4-quinazolinyl)amine



INHIBITION OF HIV-1 REV BY COMPOUND 89246 140 140 120 120 PERCENT OF CONTROL 100 **5B** B0 60 60 60 40 40 20 00.0 0.17 0.5 5.5 17.2 1.7 54.5 CONCENTRATION (µM) 

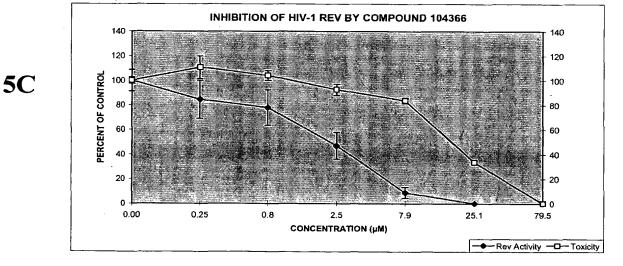
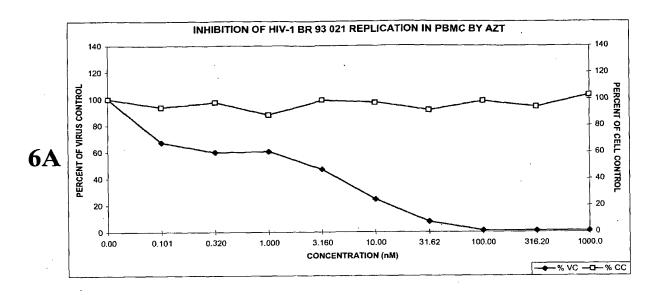
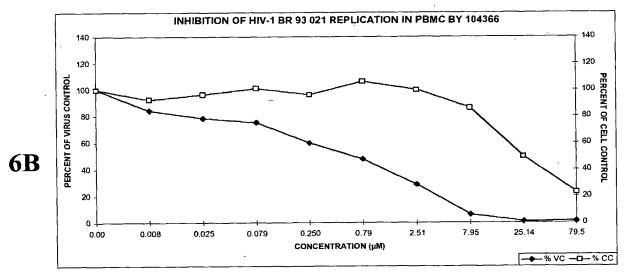


Figure 5





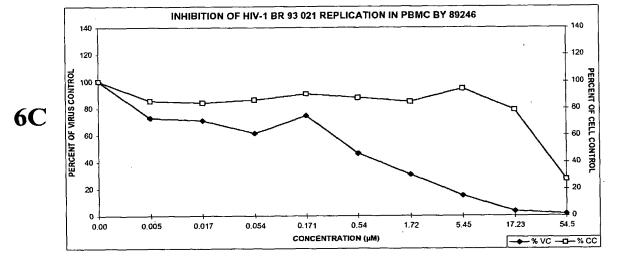
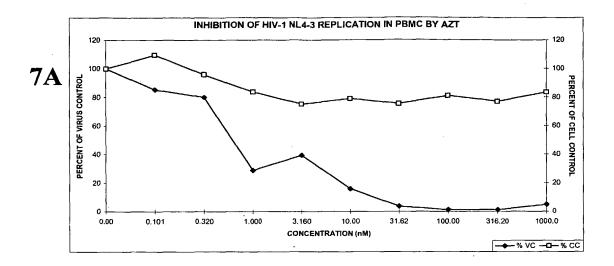
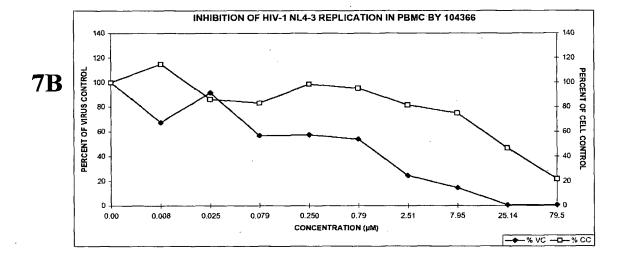


Figure 6





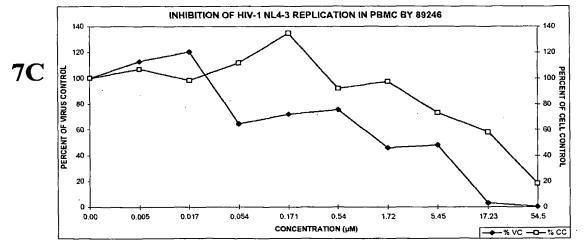
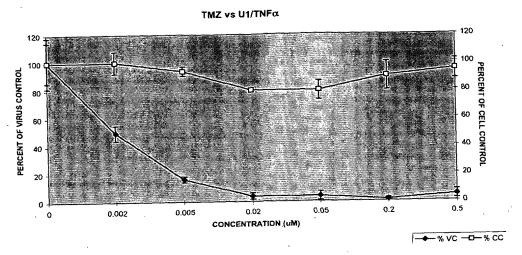
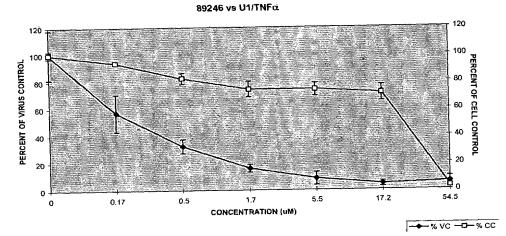


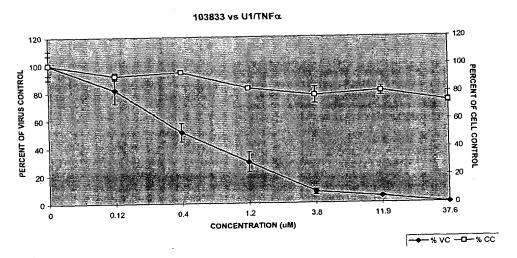
Figure 7



**8A** 



**8B** 



**8C** 

Figure 8

Code name: 113

Specs name:

Code name:

AG-205/40649270

Figure 9 Analogs of 89246

Specs Name: AG-690/40701421 PARENTAL COMPOUND

77 AH-034/34961017 Specs name:

**Code Name: 89246** 

Code name: 114 AG-205/41004335 Specs name:

Code name: 112

Specs name:

AH-283/08743005

ne: Code: Specs name: Code: 2581233 419 AP-501/42861938 423	me: Code: 583410 420	me: Code: 2861930 421 >> N ← ← ← ■N	Me: Code: 861933 422
Specs name: AP-501/42581233	Specs name: AP-501/42583410 CI SAN HN	Specs name: AP-501/42861930	Specs name: AP-501/42861933
Code: 415	Code: 416	Code:	Code: 418
Specs name: AP-501/40804757	Specs name: AP-501/40888735	Specs name: AP-501/40888736	Specs name: AP-501/40888737
ume: Code: 804729 411 .0 ✓	Specs name: Code: AP-501/40804731 412	Specs name: Code: AP-501/40804735 413	Pecs name: Code: AP-501/40804576 414
Specs name: AP-501/40804729	Specs name: AP-501/40804	Specs name: AP-501/4080	Specs name: AP-501/4080
Figure 10 Analogs of 91161	P. S.	Code Name: 91161 Specs Name: AP-501/40888738 PARENTAL COMPOUND	

Specs name: Code:		Specs name: Code: A0-799/42008042 337	Specs name: Code: A0-799/43115183 338	Specs name: Code: AQ750/42052143 339 e:	CH, CH, NH, O	Code Name: 103833 Specs Name: AE-848/34435011 PARENTAL COMPOUND
Specs name: Code: AM-807/13614287 331	OF THE STATE OF TH	Specs name: Code:	Specs name: Code:  AN-807/42004022 333	Specs name: Code: AM-807/42860050 334	pecs name: Co	
Specs name: Code: AH-262/36083007 326		7 7	Specs name: Code: AK-777/36935027 328	e:	Specs name: Code: AM-807/12740245 330	
<b>Specs name: Code:</b> AG-205/33684025 321	S S	Specs name: Code: A6-205/36992106 322	Specs name: Code: AG-690/13704140 323	Specs name: Code: AG-690/34037018 324	Specs name: Code name: AH-262/34335029 325	
<b>Specs name: Code:</b> AG-205/31312022 316	No. of No	Specs name: Code: AG-205/33137032 317	Specs name: Code: AG-205/33139015 318	Specs name: Code: AG-205/33140013 319	Specs name: Code: AG-205/33156001 320	
Specs name: Code: AE641/15124054 311		Specs name: Code:  AE-848/11105217: 312	Specs name: Code: AE-848/34405027 313	Specs name: Code: AG-205/08592044 314	Specs name: Code: AG-205/11781740 315	igure 11

	Specs name: Code name: AE-641/30178019 211	<b>Specs name:</b> AG-690/34152022	Code name: 214
H <sub>3</sub> C O	NH <sub>2</sub>	Z Z	>
Code Name: 104366 Specs Name: AG-687/25019010 PARENTAL COMPOUND	<b>Specs name:</b> Code name: AG-390/09686016 212	<b>Specs name:</b> AN-848/40633652	Code name: 215
	±Ž V	Z Z Z	
Figure 12 Analogs of 104366	F Code name: Code name: AG-690/09708030 213	Specs name: AO-476/40672158	Code name: 216
		<u>.</u>	

		<b>Specs name: Code:</b> AC-907/34128001 613	<b>Specs name: Code:</b> AG-670/33926007 616
z=(	Specs name: Code: AC-907/30063010 612	\$ 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	Specs name: Code: Al-942/42301997 617
Code Name: 107129 Specs Name: AC-907/25005415 PARENTAL COMPOUND	E Z Z	Specs name: Code:  AE-848/32726016 614	
Figure 13 Analogs of 107129		Specs name: Code: AF-936/31262044 615	Specs name: Code: A+942/42301998 618

Figure 14 Analogs of 1077

<b>Specs name: Code:</b> AF-399/40653844 514	<b>Specs name: Code:</b> AF-399/40653847 515		<b>Specs name: Code:</b> AM-944/40947865 516	N N N N N N N N N N N N N N N N N N N
Specs name: Code: AF-399/40653811 511	Specs name: Code: AF-399/40653841 512	0= 0= 0= 0= 0= 0= 0=	Specs name: Code: AF-399/40653842 513	

Code Name: 107740 Specs Name: AF-399/40653810 PARENTAL COMPOUND

Code: 
 Specs name:
 Code:
 Specs name:
 Code:

 720
 AF-399/13565054
 722
 AF-399/15284600
 728
 748 Code: Code: AJ-292/41722570 Code: 752 Specs name: AE-562/12222957 Specs name: AJ-292/41722693 Specs name: Code: Specs name: Code: AJ-292/13162013 734 AP-906/41641089 755 Code: Code: 751 Specs name: AF-399/13428463 Specs name: AJ-292/41722689 Specs name: Code: **Specs name:** Code: AJ-292/11896050 731 719 Code: AF-399/13428453 Code: 754 750 Code: Code: Specs name: AJ-292/41722682 Specs name: AP-906/41641088 Specs name: Code: 730 **Specs name:** Code: AE-641/41508835 718 AF-399/41147837 Specs name: **Specs name:** Code: AJ-292/41722653 749 Code: £ AP-906/41641069 753 Specs Name: AP-906/41641102 **Specs name:** Code: AF-399/41147834 729 PARENTAL COMPOUND Specs name: **Analogs of 109020** Code name: 109020 Figure 15